

[11][21] 51074

[54] ISOQUINOLINIUM DERIVATIVES, THEIR  
PREPARATION AND PHARMACEUTICAL  
COMPOSITIONS CONTAINING THEM

[71] The Wellcome Foundation Limited, London, England

[51] Int. Cl.<sup>3</sup> C07D 217/10, 405/10, A61K 31/47

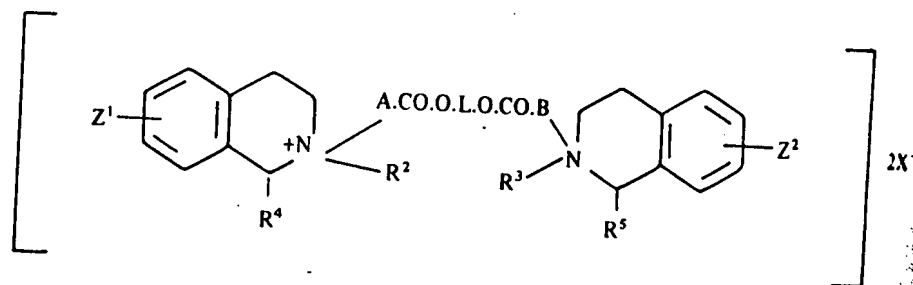
[33] United Kingdom [31] 50589  
" " 45028

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P.O.B. 4060, Tel Aviv

תולדות איזוקווינוליניום, הכנתן  
ותכשירי רוקחות המכילים אותן

הסוגים: [22] 9.XII.1976  
הממלכה המאוחדת  
[32] 10.XII.1975 " "  
[32] 29.X.1976 " "  
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[57] Compounds of the formula



wherein  $Z^1$  and  $Z^2$  are the same or different and each represents a methylenedioxy substituent, or up to three methoxy substituents;

$R^2$  and  $R^3$  are the same or different and each is alkyl having 1-3 carbon atoms;

$R^4$  and  $R^5$  are the same or different and each is a benzyl or phenethyl group wherein the phenyl ring is optionally substituted by one or more of halogen, alkoxy having 1 to 3 carbon atoms and methylenedioxy;

A and B are the same or different and each is an alkylene radical containing 1, 2 or 3 carbon atoms;

L is an alkylene chain having from 2 to 12 carbon atoms or is a group  $-L'.O.L^2-$  wherein each of  $L'$  and  $L^2$  is alkylene having at least two carbon atoms and taken together  $L'$  and  $L^2$  have up to 11 carbon atoms; and

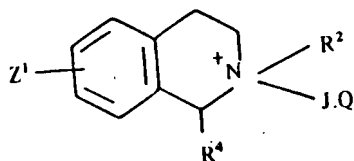
$X^-$  is an anion.

The process for the preparation of each

compounds comprises inter alia:  
 (a) quaternising a ditertiary base of the formula



or a corresponding monotertiary base where-  
 in one of the isoquinoline groups is substi-  
 tuted in the 2- position by a group R² or  
 R³, with a quaternising agent suitable for  
 introducing one or both of R² and R³ as  
 appropriate; or  
 (b) esterifying a compound of the  
 formula



by reaction with a compound of formula  
 $Q¹.L.Q²$

wherein J is alkylene having 1 to 3 carbon  
 atoms, Q and Q¹ are functional groups or  
 atoms which react together to form an ester  
 linkage, and Q² is a functional group which  
 will react with Q to form an ester linkage or  
 a group.

The compounds are for use as active  
 ingredients of pharmaceutical compositions  
 having neuromuscular blocking activity.